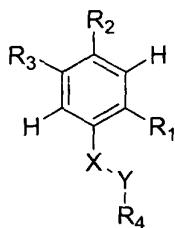


What is claimed is:

1. A compound of the formula



5

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

10

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

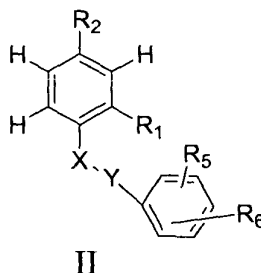
15

R₂ is an electron withdrawing group; and

R₄ is an optionally substituted aryl provided that the aryl is not simultaneously substituted with a sulfonamide and a urea or thiourea, and further provided that the aryl is not solely substituted at the ortho-position relative to Y, or R₄ is an optionally substituted HET².

20

2. The compound of claim 1 having the formula II



or a pharmaceutically acceptable salt thereof,

25

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl, the alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

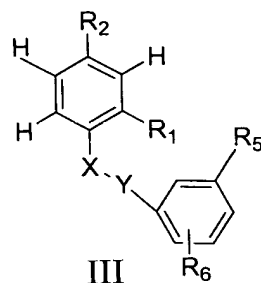
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

3. The compound of claim 1 having the formula III



or a pharmaceutically acceptable salt thereof,

5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally
 10 substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or
 optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-
 15 (CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl,
 alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂,
 HET², and substituted HET²;

20 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET²,
 cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl,
 phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently

selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -
 25 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -
 C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -
 C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -
 NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

 Z₁ is O;

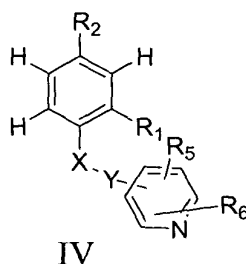
 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

 i is 0, 1, or 2; and

10 k is 0, 1, or 2.

4. The compound of claim 1 having the formula IV



15 or a pharmaceutically acceptable salt thereof,
 wherein

 X = NH

 Y = CO, CS, -C(=N-CN) or

 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

20 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

 R₂ is an electron withdrawing group;

25 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET^2 , and substituted HET^2 ;

R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

- 5 Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, -
 $C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, -
 $C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, -
 10 $C(O)C(Q_{16})_2OC(O)Q_{16}$, -CN, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, -
 $NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, -
 NO_2 , and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

- Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl
 15 and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

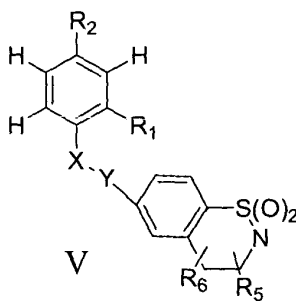
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

- 20 i is 0, 1, or 2; and

k is 0, 1, or 2.

5. The compound of claim 1 having the formula V



25

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

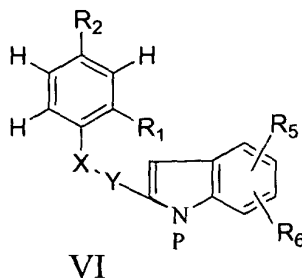
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

6. The compound of claim 1 having the formula VI



or a pharmaceutically acceptable salt thereof,

5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

P is Q₁₆;

R₂ is an electron withdrawing group;

15 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

20 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently
 25 selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

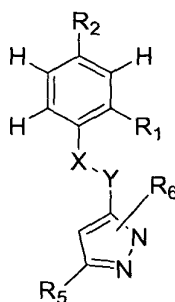
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

7. The compound of claim 1 having the formula VII



VII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

20 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R_6 is selected from H, halo, HET^2 , $-CN$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-NH-CO-HET^2$, and $-NH-CO-aryl$;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET^2 , and substituted HET^2 ;

- 5 R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-F$, $-Cl$, $-Br$, $-I$, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, -
 10 $C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, -
 $C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, -
 $C(O)C(Q_{16})_2OC(O)Q_{16}$, $-CN$, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, -
 $NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, -
 NO_2 , and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
 15 substituted with $=O$ or $=S$;

Each Q_{16} is independently selected from $-H$, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

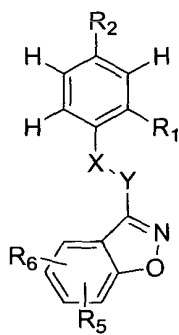
- 20 Z_2 is $=O$, $=S$, $=N-OH$, $=N-O-alkyl$, or $=N-O-substituted alkyl$;

Z_3 is $-OH$, $-N=NH$, $-N=N-alkyl$, $-NH-alkyl$, or $-NH-substituted alkyl$;

i is 0, 1, or 2; and

k is 0, 1, or 2.

- 25 8. The compound of claim 1 having the formula VIII



VIII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

5 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R₂ is an electron withdrawing group;

R₅ is H, halo, NO₂, CN, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈ -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl, substituted HET, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

15 R₆ is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, or substituted C₁₋₄alkenyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

20 Each R₈ is independently H, alkyl, substituted alkyl, -OQ₁₆, aryl, substituted aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R₈ substituents when attached to the same atom may be taken together to form a 5-8 membered ring, wherein the ring includes the atom to which the two R₈ substituents attach;

25 Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆,
30 -(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q_{16} is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-, provided that W is not S or O when R₅ or R₆ are -(CH₂)_k-W-OR₁₆;

Z₁ is =O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

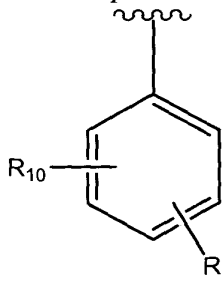
i is 0, 1, or 2; and

k is 0, 1, or 2.

9. The compound of claim 8, wherein at least one of R₅ and R₆ is a substituted phenyl or substituted HET.

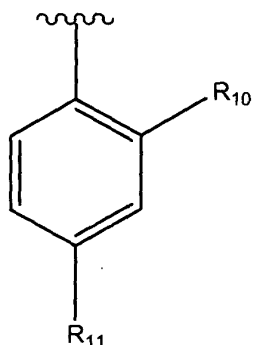
10. The compound of claim 9, wherein at least one of R₅ and R₆ is pyridine, pyrimidine, pyridazine, or pyrazine, each of which is optionally substituted with the substituents described for substituted HET.

11. The compound of claim 9, wherein the substituted phenyl has the formula



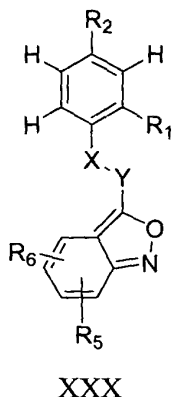
, wherein each R₁₀ and R₁₁ is selected from -F, -Cl, -Br, -I, -OQ₁₆, -Q₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆.

12. The compound of claim 8, wherein the substituted phenyl has the formula



13. The compound of claim 8, wherein one of R₅ or R₆ is -NH-(CZ₁)-NR₈R₈.
- 5 14. The compound of claim 13, wherein -NR₈R₈ forms a 5-8 membered ring.
15. The compound of claim 14, wherein the ring is morpholino, pyrrolidinyl, or piperdinyl.
- 10 16. The compound of 13, wherein at least one of the R₈ substituents is benzyl or -CH₂-substituted phenyl.
17. The compound of claim 8, wherein one of R₅ or R₆ is -(CH₂)_k-S(O)_i-R₇ or -NH-SO₂-R₇.
- 15 18. The compound of claim 17, wherein R₇ is het, substituted het, alkyl, or substituted alkyl.
19. The compound of claim 18, wherein het is indolinyl, pyrrolindinyl, or indolyl,
- 20 pyrrolyl.
20. The compound of claim 18, wherein substituted het includes a het substituent substituted with 1-3 of halo or CN.
- 25 21. The compound of claim 18, wherein substituted alkyl is an alkyl substituted with 1-3 of OH, NH₂, NHQ₁₆, -NR₈R₈.

22. The compound of claim 1 having the formula XXX



5 or a pharmaceutically acceptable salt thereof,

wherein

$$X = NH$$
$$Y = \text{CO, CS, } -\text{C}(=\text{N}-\text{CN}) \text{ or}$$

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is H, halo, NO₂, CN, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈-NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl, substituted HET, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, or substituted C₁₋₄alkenyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

Each R₈ is independently H, alkyl, substituted alkyl, -OQ₁₆, aryl, substituted
25 aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R₈
substituents when attached to the same atom may be taken together to form a 5-8

membered ring, wherein the ring includes the atom to which the two R_8 substituents attach;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently
 5 selected from -F, -Cl, -Br, -I, -O Q_{16} , -S Q_{16} , -S(O) Q_{16} , -S(O) Q_{16} , -OS(O) Q_{16} ,
 -C(=N Q_{16}) Q_{16} , -S(O) Q_{16} -N=S(O)(Q_{16}) Q_{16} , -S(O) Q_{16} -N=S(Q_{16}) Q_{16} , -SC(O) Q_{16} , -N Q_{16} Q_{16} ,
 -C(O) Q_{16} , -C(S) Q_{16} , -C(O)O Q_{16} , -OC(O) Q_{16} , -C(O)N Q_{16} Q_{16} , -C(S)N Q_{16} Q_{16} ,
 -(O)C(Q_{16}) Q_{16} , -CN, -N Q_{16} C(O) Q_{16} , -N Q_{16} C(S) Q_{16} ,
 -N Q_{16} C(O)N Q_{16} Q_{16} , -N Q_{16} C(S)N Q_{16} Q_{16} , -S(O) Q_{16} -N Q_{16} Q_{16} , -N Q_{16} S(O) Q_{16} ,
 10 -N Q_{16} S(O) Q_{16} , -N Q_{16} S Q_{16} , -NO Q_{16} , and -SN Q_{16} Q_{16} . The alkyl, cycloalkyl, and
 cycloalkenyl being further optionally substituted with =O or =S;

Each Q_{16} is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH Q_{16} -substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

15 W is O, S, -(CZ Q_{16})-, or -(CHZ Q_{16})-, provided that W is not S or O when R_5 or R_6
 are -(CH Q_{16}) Q_{16} -W-O Q_{16} ;

Z_1 is =O;

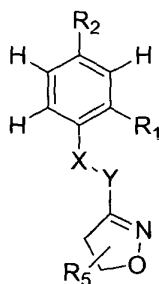
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

20 i is 0, 1, or 2; and

k is 0, 1, or 2.

23. The compound of claim 1 having the formula IX



IX

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

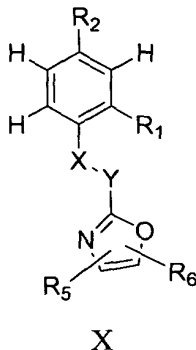
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

24. The compound of claim 1 having the formula X



5 or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, -CN, NH₂, NO₂, alkyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

20 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -

25 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

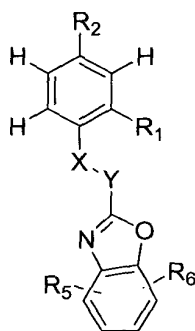
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

25. The compound of claim 1 having the formula XI



XI

15

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

20 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R_6 is selected from H, halo, HET^2 , $-CN$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-NH-CO-HET^2$, and $-NH-CO-aryl$;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET^2 , and substituted HET^2 ;

5 R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-F$, $-Cl$, $-Br$, $-I$, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, $-C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, $-C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, $-C(O)C(Q_{16})_2OC(O)Q_{16}$, $-CN$, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, $-NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, $-NO_2$, and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=O$ or $=S$;

Each Q_{16} is independently selected from $-H$, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

20 Z_2 is $=O$, $=S$, $=N-OH$, $=N-O-alkyl$, or $=N-O-substituted\ alkyl$;

Z_3 is $-OH$, $-N=NH$, $-N=N-alkyl$, $-NH-alkyl$, or $-NH-substituted\ alkyl$;

i is 0, 1, or 2; and

k is 0, 1, or 2.

25 26. The compound of claim 1 having the formula XII



XII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

5 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

15 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, 20 phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, 25 -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

30 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

27. The compound of claim 1, wherein Y is $-\text{CO}-$.

5

28. The compound of claim 1, wherein Y is $-\text{CS}-$.

29. The compound of claim 1, wherein X-Y is $-\text{C}=\text{C}-$.

10 30. The compound of claim 1, wherein is cyclopropyl.

31. The compound of claim 1, wherein R_2 is halo, $-\text{CN}$, $-\text{NO}_2$, HET^2 , substituted HET^2 , aryl, substituted aryl, $-(\text{CO})\text{-alkyl}$, $-(\text{CO})\text{-substituted alkyl}$, $-(\text{CO})\text{-aryl}$, $-(\text{CO})\text{-substituted aryl}$, $-(\text{CO})\text{-O-alkyl}$, $-(\text{CO})\text{-O-substituted alkyl}$, $-(\text{CO})\text{-O-aryl}$, $-(\text{CO})\text{-O-substituted aryl}$, $-\text{OC}(\text{Z}_n)_3$, $-\text{C}(\text{Z}_n)_3$, $-\text{C}(\text{Z}_n)_2\text{-O-C}(\text{Z}_m)_3$, $-\text{SO}_2\text{-C}(\text{Z}_n)_3$, $-\text{SO}_2\text{-aryl}$, $-\text{CN}(\text{Q}_{17})_2$, $-\text{C}(\text{NQ}_{17})\text{Q}_{17}$, $-\text{CH}=\text{C}(\text{Q}_{17})_2$, or $-\text{C}\equiv\text{C-Q}_{17}$, in which each Z_n and Z_m is independently H, halo, $-\text{CN}$, $-\text{NO}_2$, $-\text{OH}$, or $\text{C}_{1-4}\text{alkyl}$ optionally substituted with 1-3 halo, $-\text{OH}$, NO_2 , provided that at least one of Z_n is halo, $-\text{CN}$, or NO_2 .

20 32. The compound of claim 31, wherein R_2 is Br, Cl, F, I, $-\text{CN}$, formyl, acetyl, methoxyimino, hydroxyimino, $-\text{CH}_2\text{-halo}$, $\text{CH}_2\text{-CN}$, phenyl, thienyl, pyrazinyl, 1-methyl-1H-pyrrol-2-yl, pyridin-2-yl, chlorophenyl, nitrophenyl, cyanophenyl, chlorothienyl, methylthienyl, fluorophenyl, (trifluoromethyl)phenyl, di(trifluoromethyl)phenyl, difluorophenyl, dimethylisoxazolyl, dimethoxypyrimidinyl.

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33. The compound of claim 1, wherein R_5 is $-\text{NH}_2$, $-\text{SO}_2\text{-NH-alkyl}$, $-\text{SO}_2\text{-NH-substituted alkyl}$, $-\text{SO}_2\text{-NH-aryl}$, $-\text{NH-SO}_2\text{-aryl}$, $-\text{SO}_2\text{-NH-substituted aryl}$, $-\text{NH-SO}_2\text{-substituted aryl}$, $-\text{SO}_2\text{-NH-HET}^2$, $-\text{SO}_2\text{-NH-substituted HET}^2$, $-\text{SO}_2\text{-N(alkyl)(substituted alkyl)}$, $-\text{SO}_2\text{-N(alkyl)(aryl)}$, $-\text{SO}_2\text{-N(alkyl)(substituted aryl)}$, $-\text{SO}_2\text{-N(alkyl)(HET}^2)$, $-\text{SO}_2\text{-N(alkyl)(substituted HET}^2)$, $-\text{S-alkyl}$, $-\text{S-substituted alkyl}$, $-\text{O-alkyl}$, $-\text{O-aryl}$, $-\text{S-substituted alkyl}$, $-\text{CH}_2\text{-S-alkyl}$, $-\text{CH}_2\text{-S-substituted alkyl}$, $-(\text{CH}_2)_2\text{-S-alkyl}$, $-(\text{CH}_2)_2\text{-S-substituted alkyl}$, $-\text{C}(\text{O})\text{-aryl}$, $-\text{C}(\text{O})\text{H}$, $-\text{C}(\text{OH})\text{-aryl}$, $-\text{C}(\text{N-OCH}_3)\text{-aryl}$, $-\text{C}(\text{N-OH})\text{-aryl}$, $-\text{C}(\text{O})\text{-C}_{1-6}\text{cycloalkyl}$, $-\text{NH-C}(\text{O})\text{-O-C}_{1-4}\text{alkyl}$, $-\text{NH-C}(\text{O})\text{-aryl}$, -

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NH-C(O)-substituted aryl, -NH-C(O)-HET², -NH-C(O)-substituted HET², -NHC(O)NH-aryl, -NHC(O)NH-substituted aryl, -NHC(O)NH-HET², -NHC(O)NH-substituted HET².

34. The compound of claim 33, wherein R₅ is (diethylamino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethylamino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzylamino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethylanilino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl)amino]sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-chlorophenyl)(methyl)amino]sulfonyl, benzylthio, methyl(pyridin-2-yl)amino]sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino} sulfonyl, {methyl[(1S)-1-phenylethyl]amino} sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilinocarbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, -

(trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, 5 dihalophenyl, amino, acetylamino, benzoylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, benzylsulfonylamino, benzyloxy, hydroxy, 3-phenoxypropoxy, (2,3-dihydro-1,4-benzodioxin-2-yl)methoxy, cyclobutylmethoxy, (2,2-dimethyl-1,3-dioxolan-4-yl)methoxy, 2,3-dihydroxypropoxy, cyclobutyloxy, 2-methoxy-1-methylethoxy, isopropoxy, cyclopropylmethoxy, 10 cyclohexylmethoxy, 2-methoxyethoxy, tetrahydro-2H-pyran-2-yl-methoxy, (oxiran-2-yl)methoxy, 2-hydroxy-3-isopropoxypropoxy, furylmethoxy, pentyloxy, phenylacetylamino, Benzoylamino, Acetyloxyacetylamino, cyclopentylcarbonylamino, 6-Chloropyridin-3-ylcarbonylamino, isoxazol-5-ylcarbonylamino, 2,4-difluorobenzoylamino, fluoroacetylamino, Acetylamino, 4-Chlorophenylacetylamino, 15 4-methoxyphenylacetylamino, cyclopentylacetylamino, 3-fluorobenzoylamino, 3-cyanophenylacetylamino, cyclohexylcarbonylamino, propionylamino, 5-methoxy-5-oxopentanoylamino, Butyrylamino, 4-Bromobenzoylamino, 3-phenylpropanoylamino, phenoxyacetylamino, 3-cyclopentylpropanoylamino, 3-methoxy-3-oxopropanoylamino, 2-ethylhexanoylamino, 3,4-dimethoxyphenylacetylamino, 3,5,5-trimethylhexanoylamino, cyclopropylcarbonylamino, methoxyacetylamino, 3-methylbutanoylamino, pentanoylamino, 4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-ylcarbonylamino, Chloro(phenyl)acetylamino, Benzyloxyacetylamino, 3-ethoxy-3-oxopropanoylamino, 1-Adamantylcarbonylamino, hexanoylamino, 2-phenylcyclopropanoylamino, 2-phenylbutanoylamino, 25 heptanoylamino, Acetyloxyphenylacetylamino, thien-2-ylcarbonylamino, 2-methylbutanoylamino, 8-methoxy-8-oxooctanoylamino, 2-ethylbutanoylamino, octanoylamino, cyclobutylcarbonylamino, 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl, Benzylthio, morpholin-4-ylsulfonylbenzoylamino, 1H-indol-2-ylcarbonylamino, 1-methyl-1H-indol-2-ylcarbonylamino, 5-phenylisoxazol-3-ylcarbonylamino, 5-phenylpentanoylamino, 4-phenylbutanoylamino, 4-(4-methoxyphenyl)butanoylamino, 30 2-Chlorophenylacetylamino, 2,4-dichlorophenylacetylamino, 3,4-dichlorophenylacetylamino, 3-Chlorophenylacetylamino, 3-(trifluoromethyl)phenylacetylamino, 3-methylphenylacetylamino, 4-tert-

Butylphenylacetyl amino, 3-methoxyphenylacetyl amino, 2-
 methoxyphenylacetyl amino, 2-methylphenylacetyl amino, 4-
 (trifluoromethyl)phenylacetyl amino, 4-isopropylphenylacetyl amino, 4-
 methylphenylacetyl amino, 4-fluorophenylacetyl amino, 2-
 5 (trifluoromethyl)phenylacetyl amino, 3-fluorophenylacetyl amino,
 phenylthioacetyl amino, naphthylacetyl amino, naphthyloxyacetyl amino, 2-
 propoxybenzoyl amino, tetrahydrofuran-3-ylcarbonyl amino, 1-
 methylcyclopropylcarbonyl amino, 4-ethoxyphenylacetyl amino, 1-Benzothien-3-
 ylacetyl amino, 1,1'-Biphenyl-4-ylcarbonyl amino, 4-Butoxybenzoyl amino, 2-(2-
 10 phenylethyl)benzoyl amino, 1,1'-Biphenyl-2-ylcarbonyl amino, 4-
 (ethylthio)benzoyl amino, 2-(methylsulfonyl)benzoyl amino, 2,6-
 dichlorophenylacetyl amino, 1,1'-Biphenyl-4-ylacetyl amino, 1,3-Benzodioxol-5-
 ylacetyl amino, 3,3-dimethylbutanoyl amino, thien-2-ylacetyl amino, 3-methyl-5-
 phenylisoxazol-4-ylcarbonyl amino, [2-(2-methoxyethoxy)ethoxy]acetyl amino, (2-
 15 hydroxybenzoyl) amino, prolyl amino, (3-methylisoxazol-5-yl)acetyl amino, 4-Azido-3-
 iodobenzoyl amino, (diethyl amino)sulfonyl, (1H-indol-5-yl)aminosulfonyl,
 (furylmethyl amino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl,
 pyridinylethylaminosulfonyl, (benzyl amino)sulfonyl, (2-hydroxy-1-
 methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-
 20 quinoliny) sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-
 hydroxypyrrolidinyl]sulfonyl, (ethyl anilino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl,
 (2-hydroxy-2-phenylethyl)(methyl)amino]sulfonyl, (2,3-dihydro-1H-indol-1-
 yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-
 1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-
 25 yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-
 indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-
 yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-
 pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl,
 (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-
 30 chlorophenyl)(methyl)amino]sulfonyl, benzylthio, methyl(pyridin-2-
 yl)amino]sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-
 methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl,
 (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino} sulfonyl,

{methyl[(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilino)carbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, - (trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, dihalophenyl, amino, acetylamino, benzoylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, and benzylsulfonylamino.

35. The compound of claim 1, wherein R₆ is H, halo, -CN, NH₂, NO₂, methyl, methoxy, -(CH₂)₂-OH, morpholinyl, and -(CH₂)₂-O-CO-CH₃.

36. The compound of claim 1, wherein R₁ is 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl, 5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl, methylsulfonylaminocarbonyl, 4-methylphenylsulfonylaminocarbonyl, 1H-tetraazol-5-yl, hydrazinocarbonylphenyl, 5-thioxo-4,5-dihydro-1,3,4-oxadiazol-2-yl, 1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl, 4-oxo-3,4-dihydroquinazolin-2-yl, amino(hydroxyimino)methyl, 2H-tetraazol-2-yl-methyl pivalate.

37. A method for sanitizing or disinfecting comprising administering an effective amount of the antibacterial compound of claim 1.